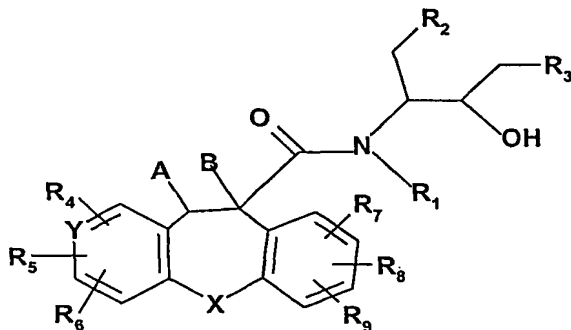


Claims:

## 1. A compound of formula I



wherein

X is O, NH, N(C<sub>1-4</sub>)alkyl, CO or CHOH,

Y is CH or N,

A and B are each hydrogen or together form a second bond between the carbon atoms to which they are attached,

R<sub>1</sub> is hydrogen or (C<sub>1-4</sub>)alkyl,

R<sub>2</sub> is optionally substituted (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, aryl or heteroaryl,

R<sub>3</sub> is CH(R<sub>e</sub>)CONR<sub>a</sub>R<sub>b</sub> or (CH<sub>2</sub>)<sub>n</sub>NR<sub>c</sub>R<sub>d</sub>,

n is 0, 1 or 2,

R<sub>a</sub>, R<sub>b</sub>, R<sub>c</sub> and R<sub>d</sub>, independently, are hydrogen or optionally substituted (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, (C<sub>7-9</sub>)bicycloalkyl, 1-aza-(C<sub>7-9</sub>)bicycloalkyl, aryl, aryl(C<sub>1-4</sub>)alkyl, heteroaryl, heteroaryl(C<sub>1-4</sub>)alkyl or heterocyclyl, or

R<sub>a</sub>, R<sub>b</sub>, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen to which they are attached, form an optionally substituted pyrrolidinyl, piperidino, morpholino or piperazinyl group,

R<sub>e</sub> is (C<sub>1-8</sub>)alkyl, (C<sub>1-4</sub>)alkoxy(C<sub>1-4</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, and

R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub>, independently, are hydrogen, (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>1-4</sub>)alkyl-SO<sub>2</sub>, cyano, nitro or halogen, in free base or acid addition salt form.

## 2. A compound of formula I according to claim 1 wherein

X is O, NH, N(C<sub>1-4</sub>)alkyl, CO or CHOH,

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- Y is CH or N,  
 A and B are each hydrogen or together form a second bond between the carbon atoms to which they are attached,  
 R<sub>1</sub> is hydrogen or (C<sub>1-4</sub>)alkyl,  
 R<sub>2</sub> is optionally substituted (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, aryl or heteroaryl,  
 R<sub>3</sub> is CH(R<sub>e</sub>)CONR<sub>a</sub>R<sub>b</sub> or (CH<sub>2</sub>)<sub>n</sub>NR<sub>c</sub>R<sub>d</sub>,  
 n is 0, 1 or 2,  
 R<sub>a</sub>, R<sub>b</sub>, R<sub>c</sub> and R<sub>d</sub>, independently, are hydrogen or optionally substituted (C<sub>1-8</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, aryl, aryl(C<sub>1-4</sub>)alkyl, heteroaryl or heteroaryl(C<sub>1-4</sub>)alkyl or  
 R<sub>a</sub>, R<sub>b</sub>, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen to which they are attached, form an optionally substituted pyrrolidinyl, piperidino, morpholino or piperazinyl group,  
 R<sub>e</sub> is (C<sub>1-8</sub>)alkyl, (C<sub>1-4</sub>)alkoxy(C<sub>1-4</sub>)alkyl, (C<sub>3-7</sub>)cycloalkyl or (C<sub>3-7</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, and  
 R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub>, independently, are hydrogen, (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>1-4</sub>)alkyl-SO<sub>2</sub>, cyano, nitro or halogen, in free base or acid addition salt form.

3. A compound of formula I according to claim 1 wherein

- X is O, NH or CO,  
 Y is CH or N,  
 A and B are each hydrogen or together form a second bond between the carbon atoms to which they are attached,  
 R<sub>1</sub> is hydrogen,  
 R<sub>2</sub> is (C<sub>1-4</sub>)alkyl, or phenyl, which is unsubstituted or substituted by hydroxy, amino or halogen,  
 R<sub>3</sub> is CH(R<sub>e</sub>)CONR<sub>a</sub>R<sub>b</sub> or (CH<sub>2</sub>)<sub>n</sub>NR<sub>c</sub>R<sub>d</sub>,  
 n is 0 or 1,  
 R<sub>a</sub> and R<sub>b</sub>, independently, are hydrogen, (C<sub>1-7</sub>)alkyl, (C<sub>1-4</sub>)alkoxy(C<sub>1-4</sub>)alkyl, benzyl, phenyl, (C<sub>3-5</sub>)cycloalkyl(C<sub>1-4</sub>)alkyl, pyridyl, pyridyl(C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkyl piperidinyl, tetrahydropyranyl, (C<sub>7-8</sub>)bicycloalkyl, 1-aza-(C<sub>7-9</sub>)bicycloalkyl; (C<sub>5-8</sub>)cycloalkyl substituted by hydroxy; or pyrazolyl or isoxazolyl being unsubstituted or substituted by (C<sub>1-4</sub>)alkyl;

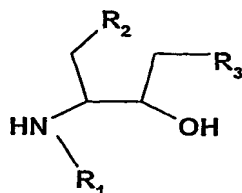
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$R_c$  and  $R_d$ , independently, are hydrogen, tetrahydronaphthyl,  $(C_{1-4})$ alkoxy tetrahydronaphthyl,  $(C_{3-5})$ cycloalkyl being unsubstituted or substituted by halophenyl; chromanyl being substituted by halogen,  $(C_{1-4})$ alkyl or  $(C_{3-7})$ cycloalkyl; or  $(C_{1-4})$ alkyl being unsubstituted or mono or disubstituted by  $(C_{5-7})$ cycloalkyl, phenyl,  $(C_{1-4})$ alkoxy phenyl, di $(C_{1-4})$ alkoxy phenyl, halophenyl, phenoxy phenyl,  $(C_{1-4})$ alkyl phenyl, hydroxy  $(C_{1-4})$ alkyl phenyl,  $(C_{1-4})$ alkoxy  $(C_{1-4})$ alkoxy phenyl, naphthyl, pyridyl, thiadiazolyl, benzimidazolyl or furyl;

$R_e$  is  $(C_{1-8})$ alkyl, and

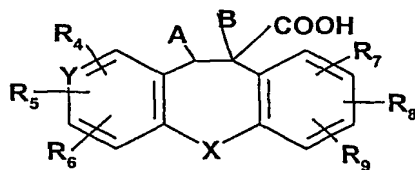
$R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$  and  $R_9$ , independently, are hydrogen or halogen, in free base or acid addition salt form.

4. A process for the preparation of a compound of formula I as defined in claim 1, or a salt thereof, which includes the steps of acylating a compound of formula II



II

wherein  $R_1$ ,  $R_2$  and  $R_3$  are as defined in claim 1, with an acid of formula III



III

wherein X, Y, A, B,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$  and  $R_9$  are as defined in claim 1, or an activated form thereof, and recovering the so obtained compound of formula I in free base or acid addition salt form.

5. A compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form, for use as a pharmaceutical.

6. A compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form, for use in the treatment of neurological and vascular disorders related to beta-amyloid generation and/or aggregation.
7. A pharmaceutical composition comprising a compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form, in association with a pharmaceutical carrier or diluent.
8. The use of a compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form, as a pharmaceutical, for the treatment of neurological and vascular disorders related to beta-amyloid generation and/or aggregation.
9. The use of a compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form, for the manufacture of a medicament for the treatment of neurological and vascular disorders related to beta-amyloid generation and/or aggregation.
10. A method for the treatment of neurological and vascular disorders related to beta-amyloid generation and/or aggregation in a subject in need of such treatment, which comprises administering to such subject a therapeutically effective amount of a compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form.
11. A combination comprising a therapeutically effective amount of a compound of any one of claims 1 to 3 in free base or pharmaceutically acceptable acid addition salt form and a second drug substance, for simultaneous or sequential administration.